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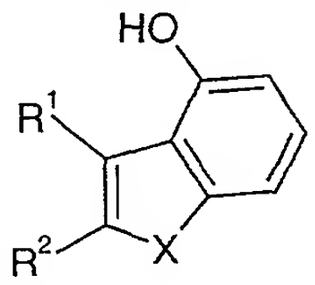
CLAIMS

1. A process for preparing a compound of the formula:



(I)

wherein

R^1 and R^2 are independently selected from the group consisting of hydrogen and lower-alkyl;

or

R^1 and R^2 together with the ring carbon atoms to which they are attached form a monovalent carbocyclic or a monovalent carbocyclic aromatic ring or a monovalent carbocyclic or monovalent carbocyclic aromatic ring substituted by halogen, lower-alkyl or lower-alkoxy;

X is O, S or N-Z;

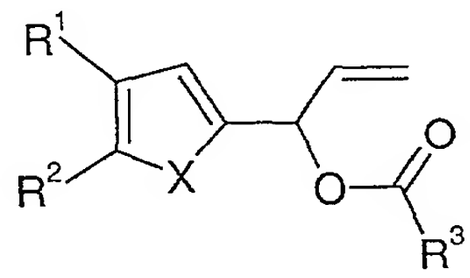
Z is an amino protecting group selected from the group consisting of SO_2R^a, NMe_2, CO_2R^b and $CON(R^c)_2$;

R^a is lower-alkyl or aryl; and

R^b and R^c are lower-alkyl;

which comprises:

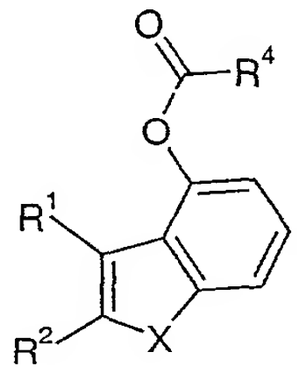
(a) cyclocarbonylating a compound of formula:



(II)

wherein R^3 is lower-alkyl, aryl or aralkyl, and R^1, R^2 and X are as defined above;

to form a compound of formula:



(III)

wherein R^4 is lower-alkyl or aryl and R^1, R^2 and X are as defined above; and

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(b) saponifying the compound of formula (III) to produce the compound of formula (I).

2. The process according to claim 1, wherein X is N-Z.

3. The process according to claim 1, wherein Z is SO_2R^a and R^a is phenyl.

4. The process according to claim 1, wherein R^1 and R^2 together with the ring carbon atoms to which they are attached form a phenyl ring.

5. The process according to claim 1, wherein R^3 is methyl or phenyl.

6. The process according to claim 1, wherein the cyclocarbonylating is carried out in the presence of a base, an anhydride, and a catalyst comprising a transition metal compound and a ligand.

7. The process according to claim 6, wherein the transition metal compound is a palladium salt.

8. The process according to claim 7, wherein the transition metal compound is selected from the group consisting of $Pd(OAc)_2$, Pd_2dba_3, $PdCl_2$, $Pd_2Cl_2(\pi\text{-allyl})_2$, $PdCl_2(NCMe)_2$, $[Pd(NCMe)_4](BF_4)_2$ or Pd/C.

9. The process according to claim 8, wherein the transition metal compound is $Pd(OAc)_2$.

10. The process according to claim 6, wherein the ligand is $P(R^5)(R^6)(R^7)$ or $(R^5)(R^6)P\text{-}(Y)\text{-}P(R^5)(R^6)$ wherein R^5, R^6 and R^7 each independently are $C_{1\text{-}8}$-alkyl, cyclohexyl, benzyl, naphthyl, 2- or 3-pyrrolyl, 2- or 3-furyl, 2- or 3-thiophenyl, 2- or 3- or 4-pyridyl, phenyl or phenyl which is substituted by $C_{1\text{-}4}$-alkyl, $C_{1\text{-}4}$-alkoxy, halogen, trifluoromethyl, lower alkylydenedioxy or phenyl and Y is binaphthyl, 6,6'-dimethyl- or 6,6'-dimethoxybiphenyl-2,2'-diyl, or one of the groups $-(CH_2)_n$-, $-CH_2CH_2-P(C_6H_5)-CH_2CH_2$-,

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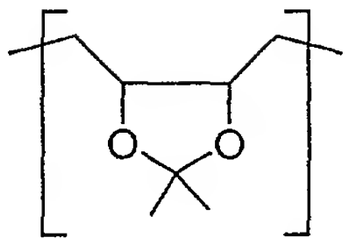
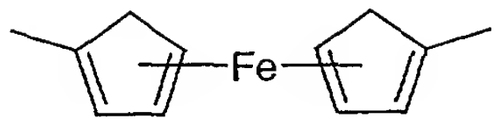


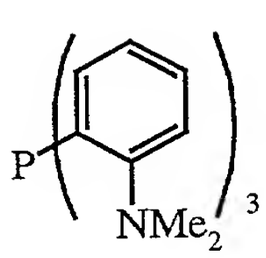
or



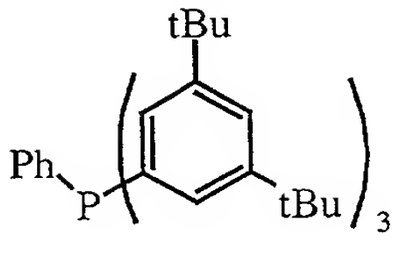
(IV)

and n is a number of 1 – 8.

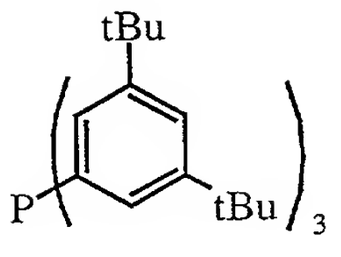
11. The process according to claim 10, wherein the ligand is selected from the group consisting of triphenylphosphine, and



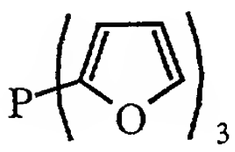
P(o-DMA-Ph)$_3$



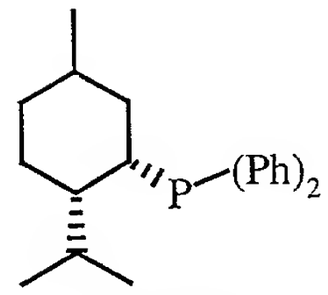
PPh(3,5-tBu-Ph)$_2$



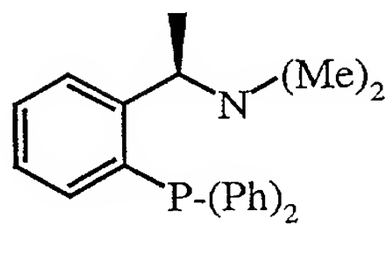
P(3,5-tBu-Ph)$_3$



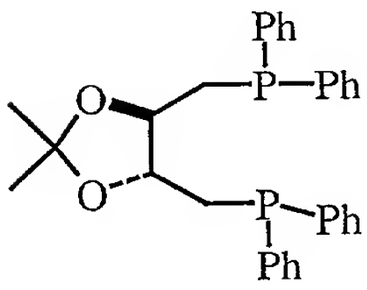
P(2-Furyl)$_3$



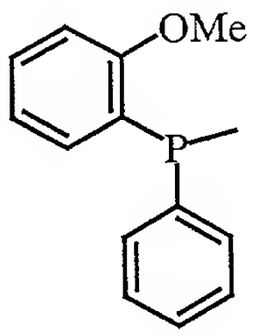
NMDPP



AMPHOS



DIOP



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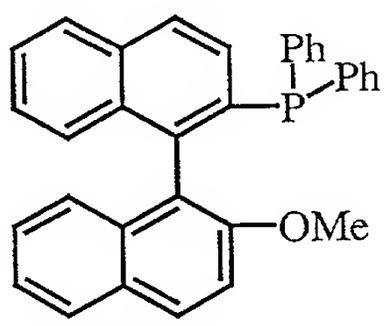
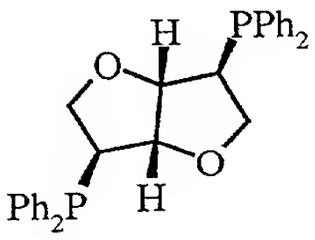
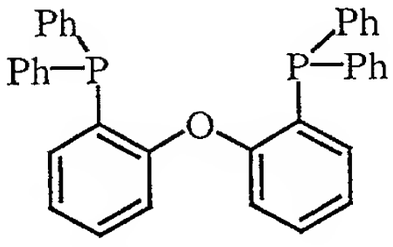


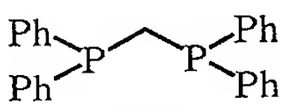
MOP



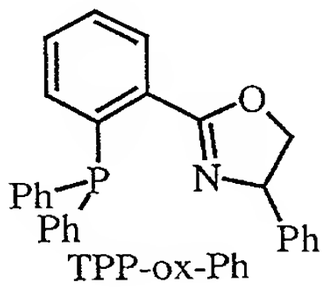
(S,S)-DDPPI



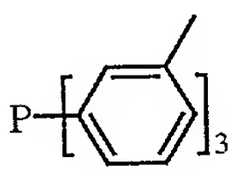
DPEphos



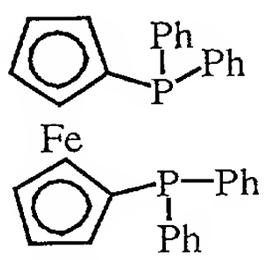
DPPM



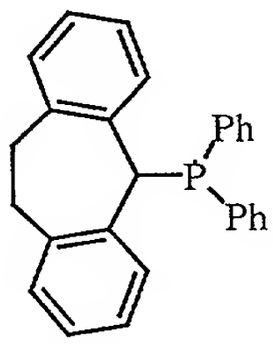
TPP-ox-Ph



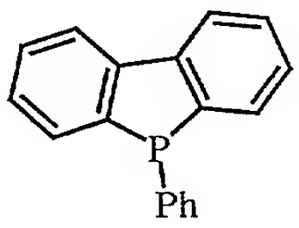
P(m-Tol)$_3$



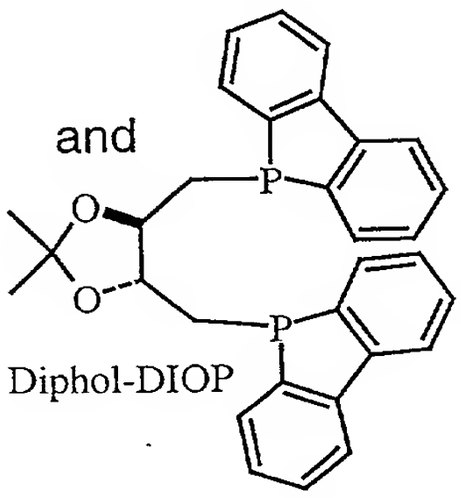
DPPF



TROPP-Ph

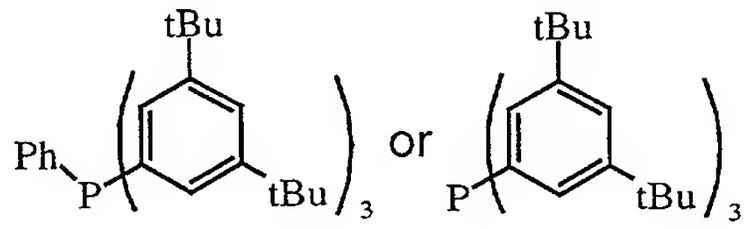


PPh(Diphol)



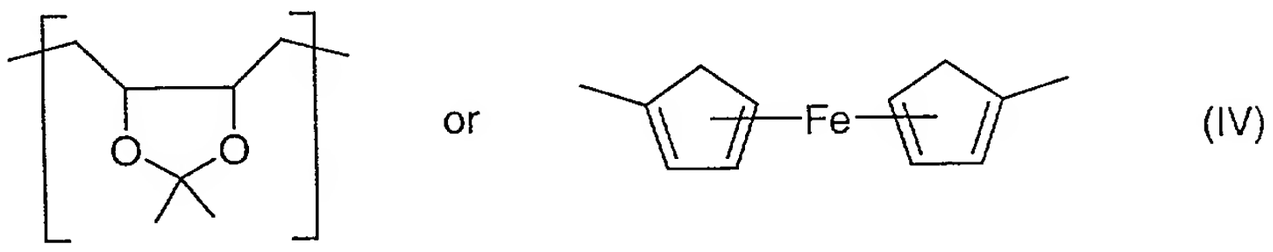
Diphol-DIOP

12. The process according to claim 11, wherein the ligand is triphenylphosphine,



$PPh(3,5\text{-}tBu\text{-}Ph)_2$ $P(3,5\text{-}tBu\text{-}Ph)_3$.

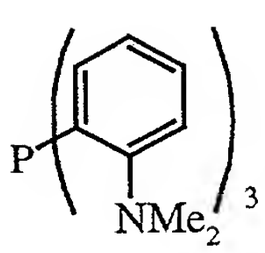
13. The process according to claim 8, wherein the ligand is $P(R^5)(R^6)(R^7)$ or $(R^5)(R^6)P\text{-}(Y)\text{-}P(R^5)(R^6)$ wherein R^5, R^6 and R^7 each independently are $C_{1\text{-}8}$-alkyl, cyclohexyl, benzyl, naphthyl, 2- or 3-pyrrolyl, 2- or 3-furyl, 2- or 3-thiophenyl, 2- or 3- or 4-pyridyl, phenyl or phenyl which is substituted by $C_{1\text{-}4}$-alkyl, $C_{1\text{-}4}$-alkoxy, halogen, trifluoromethyl, lower alkylydenedioxy or phenyl and Y is binaphthyl, 6,6'-dimethyl- or 6,6'-dimethoxybiphenyl-2,2'-diyl, or one of the groups $-(CH_2)_n-$, $-CH_2CH_2-P(C_6H_5)-CH_2CH_2-$,



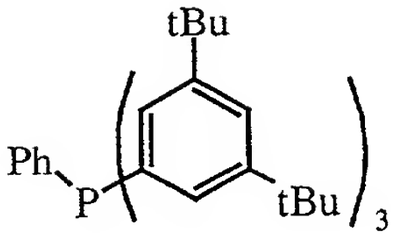
(IV)

and n is a number of 1 – 8.

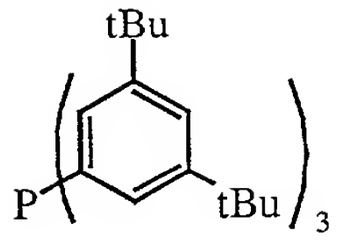
14. The process according to claim 13, wherein the ligand is selected from the group consisting of triphenylphosphine, and



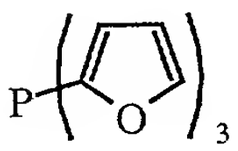
P(o-DMA-Ph)$_3$



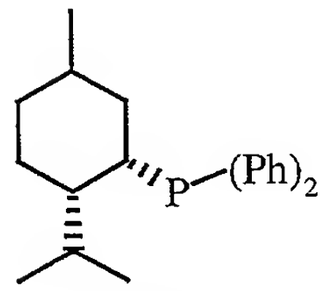
PPh(3,5-tBu-Ph)$_2$



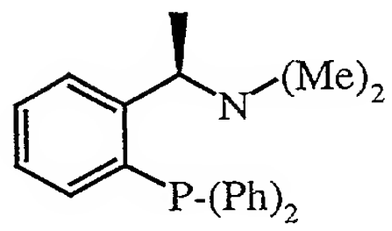
P(3,5-tBu-Ph)$_3$



P(2-Furyl)$_3$



NMDPP



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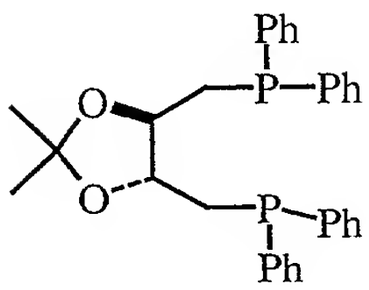
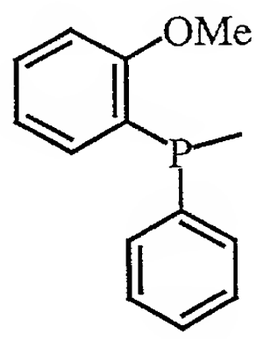


DIOP



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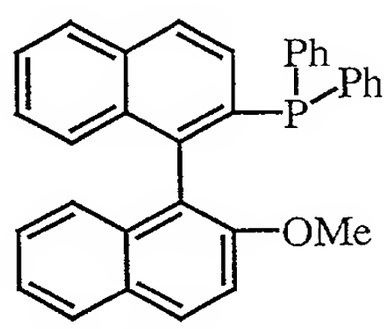
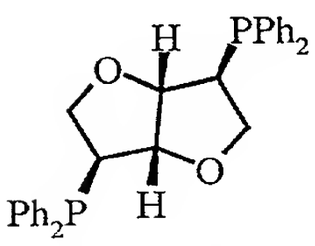
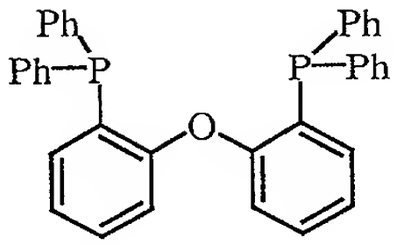


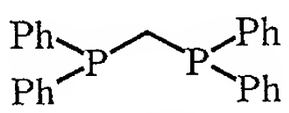
MOP



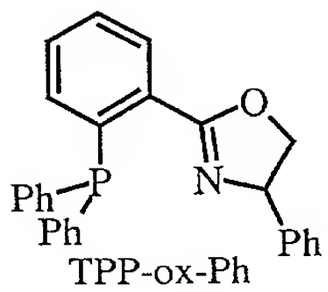
(S,S)-DDPPI



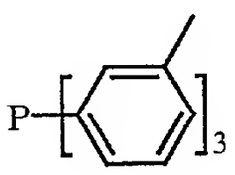
DPEphos



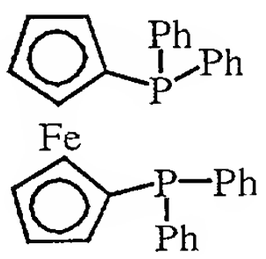
DPPM



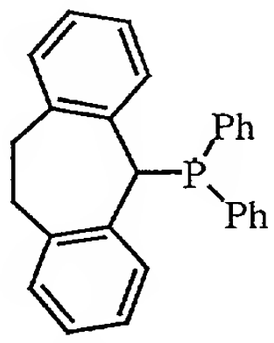
TPP-ox-Ph



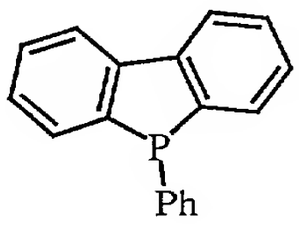
P(m-Tol)$_3$



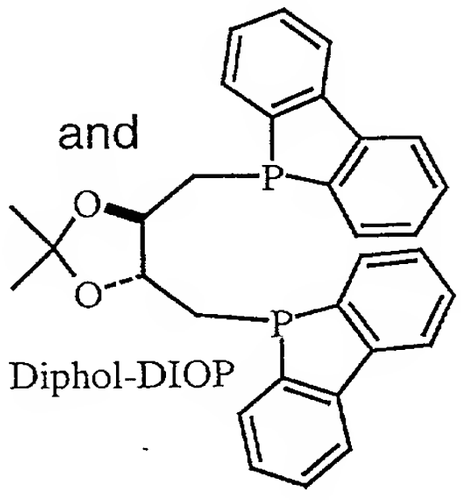
DPPF



TROPP-Ph

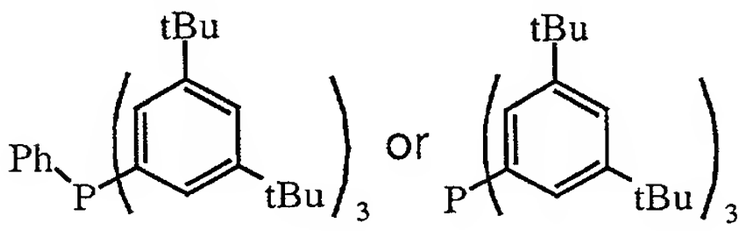


PPh(Diphol)



Diphol-DIOP

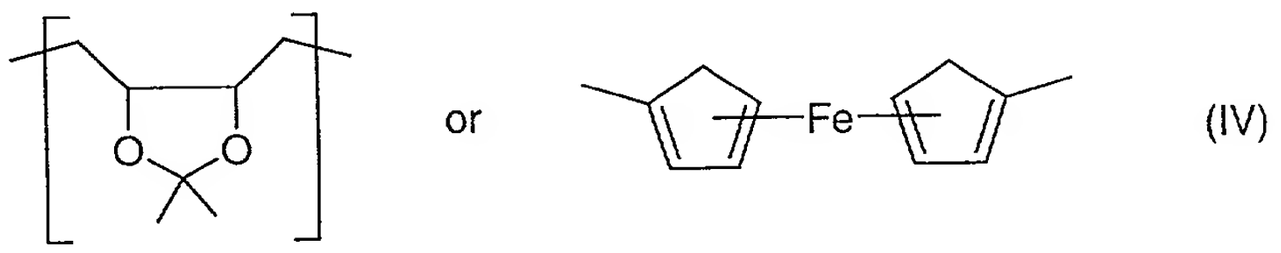
15. The process according to claim 14, wherein the ligand is triphenylphosphine,



$PPh(3,5\text{-}tBu\text{-}Ph)_2$ $P(3,5\text{-}tBu\text{-}Ph)_3$.

13.

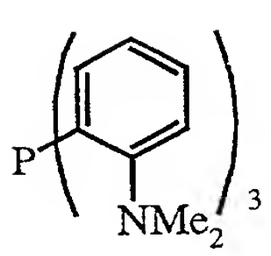
16. The process according to claim 9, wherein the ligand is $P(R^5)(R^6)(R^7)$ or $(R^5)(R^6)P\text{-}(Y)\text{-}P(R^5)(R^6)$ wherein R^5, R^6 and R^7 each independently are $C_{1\text{-}8}$-alkyl, cyclohexyl, benzyl, naphthyl, 2- or 3-pyrrolyl, 2- or 3-furyl, 2- or 3-thiophenyl, 2- or 3- or 4-pyridyl, phenyl or phenyl which is substituted by $C_{1\text{-}4}$-alkyl, $C_{1\text{-}4}$-alkoxy, halogen, trifluoromethyl, lower alkylydenedioxy or phenyl and Y is binaphthyl, 6,6'-dimethyl- or 6,6'-dimethoxybiphenyl-2,2'-diyl, or one of the groups $-(CH_2)_n$-, $-CH_2CH_2\text{-}P(C_6H_5)\text{-}CH_2CH_2$-,



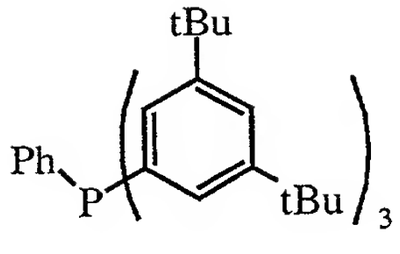
(IV)

and n is a number of 1 – 8.

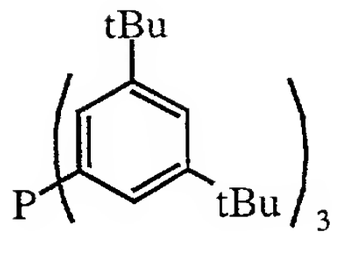
17. The process according to claim 16, wherein the ligand is selected from the group consisting of triphenylphosphine, and



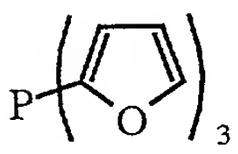
P(o-DMA-Ph)$_3$



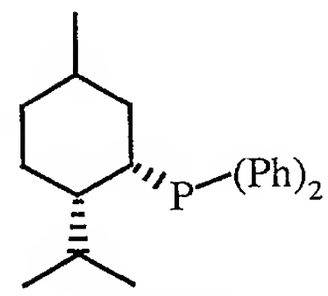
PPh(3,5-tBu-Ph)$_2$



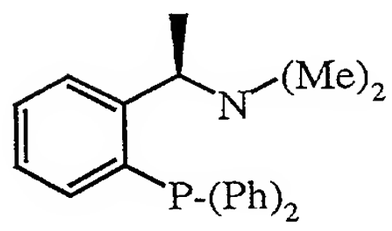
P(3,5-tBu-Ph)$_3$



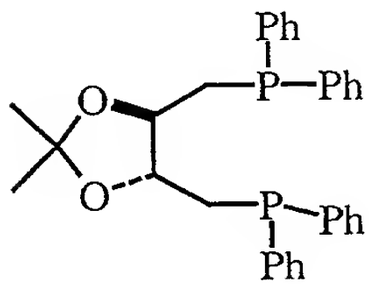
P(2-Furyl)$_3$



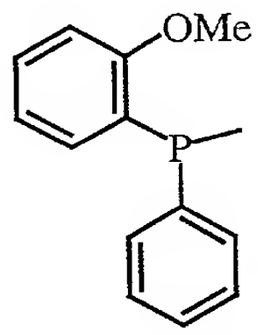
NMDPP



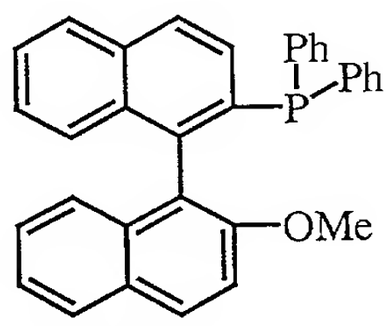
AMPHOS



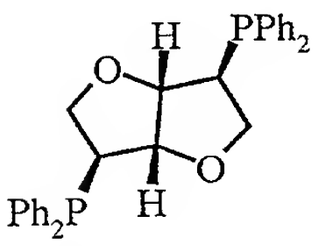
DIOP



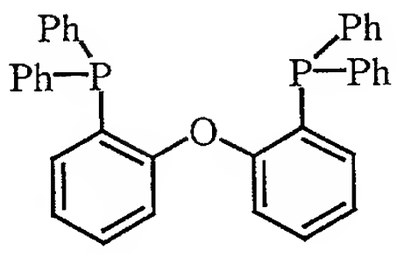
PAMP



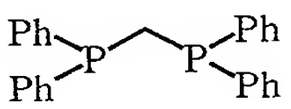
MOP



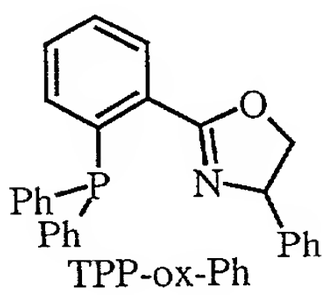
(S,S)-DDPPI



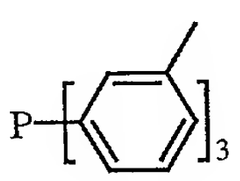
DPEphos



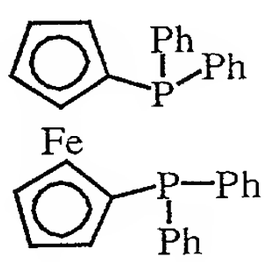
DPPM



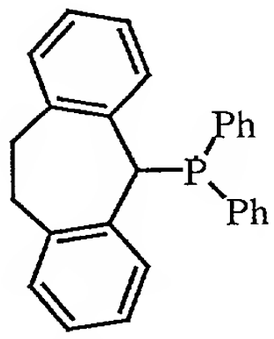
TPP-ox-Ph



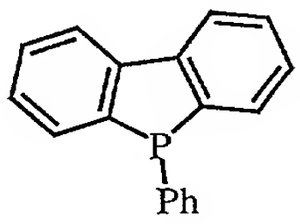
P(m-Tol)$_3$



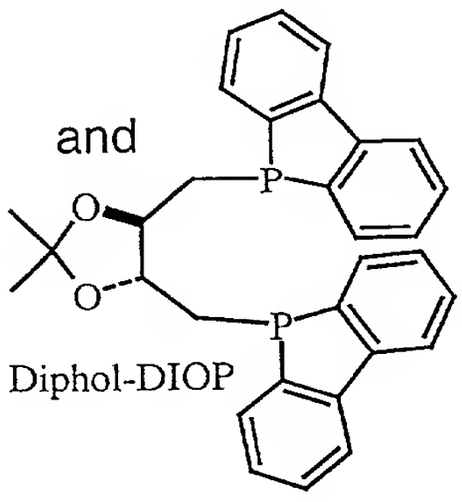
DPPF



TROPP-Ph

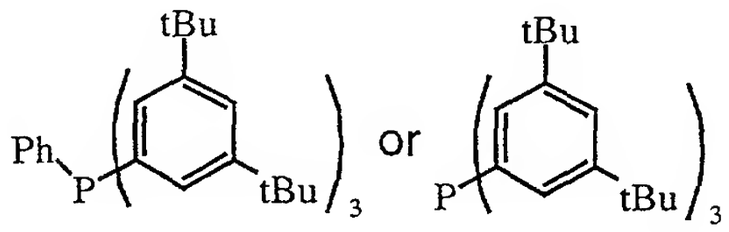


PPh(Diphol)



Diphol-DIOP

18. The process according to claim 17, wherein the ligand is triphenylphosphine,



$PPh(3,5\text{-}tBu\text{-}Ph)_2$ $P(3,5\text{-}tBu\text{-}Ph)_3$.

19. The process according to claim 6, wherein the cyclocarbonylating is carried out in the presence of a base selected from the group consisting of tri-alkyl-amines, di-alkyl-aryl-amines, pyridines, alkyl-N-piperidines, sodium hydroxide, potassium hydroxide or salts of carbonic acids.

20. The process according to claim 19, wherein the cyclocarbonylating is carried out in the presence of triethylamine.

21. The process according to claim 10, wherein the cyclocarbonylating is carried out in the presence of a base selected from the group consisting of tri-alkyl-amines, di-alkyl-aryl-amines, pyridines, alkyl-N-piperidines, sodium hydroxide, potassium hydroxide or salts of carbonic acids.

22. The process according to claim 21, wherein the cyclocarbonylating is carried out in the presence of triethylamine.

23. The process according to claim 11, wherein the cyclocarbonylating is carried out in the presence of a base selected from the group consisting of tri-alkyl-amines, di-alkyl-aryl-amines, pyridines, alkyl-N-piperidines, sodium hydroxide, potassium hydroxide or salts of carbonic acids.

24. The process according to claim 23, wherein the cyclocarbonylating is carried out in the presence of triethylamine.

25. The process according to claim 12, wherein the cyclocarbonylating is carried out in the presence of a base selected from the group consisting of tri-alkyl-amines, di-alkyl-aryl-amines, pyridines, alkyl-N-piperidines, sodium hydroxide, potassium hydroxide or salts of carbonic acids.

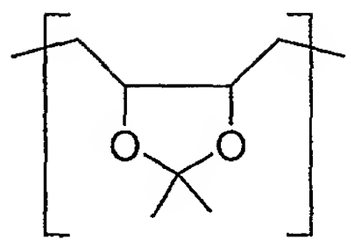
26. The process according to claim 25, wherein the cyclocarbonylating is carried out in the presence of triethylamine.

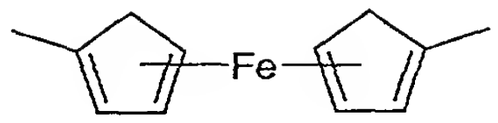
27. The process according to claim 6, wherein the cyclocarbonylating is carried out in the presence of an anhydride of the formula $(R^4(C=O))_2O$, wherein R^4 is as defined in claim 1.

28. The process according to claim 27, wherein the cyclocarbonylating is carried out in the presence of an anhydride selected from acetic anhydride, propionic anhydride, butyric anhydride, isobutyric anhydride, pivalic anhydride and benzoic anhydride.

29. The process according to claim 1, wherein the saponifying is carried out in a biphasic mixture of sodium hydroxide in toluene or in a homogeneous mixture of sodium methylate in methanol.

30. The process according to claim 6, wherein the cyclocarbonylating is carried out in the presence of a base selected from the group consisting of tri-alkyl-amines, di-alkyl-aryl-amines, pyridines, alkyl-N-piperidines, sodium hydroxide, potassium hydroxide and salts of carbonic acids; an anhydride of the formula $(R^4(C=O))_2O$, wherein R^4 is as defined in claim 1; and a catalyst comprising a transition metal compound selected from the group consisting of $Pd(OAc)_2$, Pd_2dba_3, $PdCl_2$, $Pd_2Cl_2(\pi\text{-allyl})_2$, $PdCl_2(NCMe)_2$, $[Pd(NCMe)_4](BF_4)_2$, and Pd/C, and a ligand selected from the group consisting of $P(R^5)(R^6)(R^7)$ and $(R^5)(R^6)P\text{-}(Y)\text{-}P(R^5)(R^6)$ wherein R^5, R^6 and R^7 each independently are $C_{1\text{-}8}$-alkyl, cyclohexyl, benzyl, naphthyl, 2- or 3-pyrrolyl, 2- or 3-furyl, 2- or 3-thiophenyl, 2- or 3- or 4-pyridyl, phenyl or phenyl which is substituted by $C_{1\text{-}4}$-alkyl, $C_{1\text{-}4}$-alkoxy, halogen, trifluoromethyl, lower alkylydenedioxy or phenyl and Y is binaphthyl, 6,6'-dimethyl- or 6,6'-dimethoxybiphenyl-2,2'-diyl, or one of the groups $-(CH_2)_n-$, $-CH_2CH_2-P(C_6H_5)-CH_2CH_2-$,



or 

(IV)

and n is a number of 1 – 8.

31. The process according to claim 30, wherein the saponifying is carried out in a biphasic mixture of sodium hydroxide in toluene or in a homogeneous mixture of sodium methylate in methanol.

32. A process for preparing 1-(9H-carbazol-4-yloxy)-3-[[2-(2-methoxyphenoxy)ethyl]amino]-2-propanol, which comprises:

a) cyclocarbonylating acetic acid 1-(1-benzenesulfonyl-1H-indol-2-yl)-allyl ester or benzoic acid 1-(1-benzenesulfonyl-1H-indol-2-yl)-allyl ester to give acetic acid 9-benzenesulfonyl-9H-carbazol-4-yl ester;

b) saponifying the acetic acid 9-benzenesulfonyl-9H-carbazol-4-yl ester to give 9-benzenesulfonyl-9H-carbazol-4-ol;

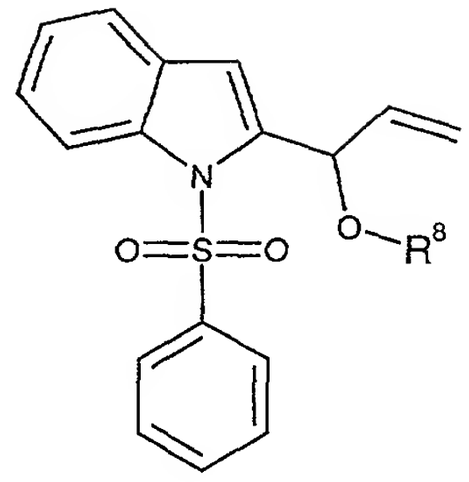
c) reacting the 9-benzenesulfonyl-9H-carbazol-4-ol with epichlorohydrin under basic conditions to give 9-benzenesulfonyl-4-oxiranylmethoxy-9H-carbazole;

d) reacting the 9-benzenesulfonyl-4-oxiranylmethoxy-9H-carbazole with benzyl-[2-(2-methoxy-phenoxy]-ethyl-amine to give a 1-(9-benzenesulfonyl-9H-carbazol-4-yloxy)-3-{benzyl-[2-(2-methoxy-phenoxy)ethyl]-amino}-propan-2-ol;

e) deprotecting the 1-(9-benzenesulfonyl-9H-carbazol-4-yloxy)-3-{benzyl-[2-(2-methoxy-phenoxy)ethyl]-amino}-propan-2-ol under basic conditions to give 1-{benzyl-[2-(2-methoxy-phenoxy)-ethyl]-amino}-3-(9H-carbazol-4-yloxy)-propan-2-ol; and

f) hydrogenating the 1-{benzyl-[2-(2-methoxy-phenoxy)-ethyl]-amino}-3-(9H-carbazol-4-yloxy)-propan-2-ol in an organic solvent to give 1-(9H-carbazol-4-yloxy)-3-[[2-(2-methoxyphenoxy)ethyl]amino]-2-propanol.

33. A compound of formula:



(IIa)

wherein R^8 is hydrogen, acetyl or benzoyl.